5

10

1. A compound of the formula

$$R_2$$

CLAIMS

where R_1 is of the formulae

$$-N$$
 $N-R_3$, $N-R_3$, or

III

 R_3 is hydrogen, $CH_3OCH_2CH_2$, C_1 to C_6 alkyl, C_1 to C_6 alkylaryl, or aryl; R_4 and R_5 are each independently

$$R_{7}$$
 R_{8}
 R_{10}
 R_{13}
 R_{14}
 R_{14}
 R_{15}
 R_{16}
 R_{17}
 R_{18}
 R_{18}
 R_{17}
 R_{18}
 R_{18}
 R_{17}
 R_{18}
 R_{19}

hydrogen, -CF₃, C₁ to C₆ alkyl, C₁ to C₆ alkylaryl, with the proviso that when R₂ is -R₄ or - OR₄, R₄ is not hydrogen or C₁ to C₆ alkyl;

 $R_{6},\,R_{7},\,R_{8},\,R_{9},\,R_{10},\,R_{11},\,R_{12},\,R_{13},\,R_{14},\,R_{15},\,R_{16},\,R_{17},\,\text{and}\,\,R_{18}\,\,\text{are each}$ independently H, halogen, -CF₃, -(C=O)R₂₀, -CN, -OR₂₀, -NR₂₀R₂₁, -NR₂₀SO₂R₂₂, -N=C-N(CH₃)₂, -N₂₀CO₂R₂₂, -S(O)_eR₂₀, -SO₂NR₂₀R₂₁, -NO₂, aryl, C₁ to C₆ alkylaryl,

5 -(C=O)OR₂₀,-(C=O)NR₂₀R₂₁, C₁ to C₆ alkyl, C₁ to C₆ alkenyl, and C₁ to C₆ alkynyl;

 R_6 and R_7 , R_7 and R_8 , R_8 and R_9 , R_9 and R_{10} , R_{11} and R_{12} , R_{12} and R_{13} , R_{13} and R_{14} , R_{15} and R_{16} , R_{16} and R_{17} , and R_{17} and R_{18} may be taken together to form a five-to-seven-membered alkyl ring, a six-membered aryl ring, a five to seven membered heteroalkyl ring having one heteroatom of N, O, or S, or a five-to six-membered heteroaryl ring have 1 or 2 heteroatoms of N, O, or S;

R₁₉ is hydrogen or C₁ to C₃ alkyl;

 R_{20} and R_{21} are each independently hydrogen, C_1 to C_6 alkyl, aryl, or C_1 to C_6 alkylaryl, or may be taken together to form a C_4 to C_7 alkyl ring;

 R_{22} is C_1 to C_6 alkyl, aryl, or C_1 to C_6 alkylaryl;

A, B, D, E, and F are each independently C or N;

G, I, J, and K are each independently C, N, O, S, or (C=O), with the proviso that there is at most one of O, (C=O), or S per ring;

L and Z are each independently C or N;

M is C, N, or (C-240);

20 X is O or S;

10

15

25

35

40

a is 0, 1 or 2;

e is 0, 1 or 2;

d is 0, 1, or 2;

b and c are each independently 0, 1, 2, 3, 4, 5, or 6, with b+c being at most 6; a broken line indicates the presence optionally of a double bond and the above aryl groups and the aryl moieties of the above alkylaryl groups are independently selected from phenyl and substituted phenyl, wherein said substituted phenyl may be substituted with one to three groups selected from C₁ to C₄ alkyl, halogen, hydroxy, cyano, carboxamido, nitro, and C₁ to C₄ alkoxy, and pharmaceutically acceptable salts

30 thereof.

- 2. The compound of claim 1, wherein R_1 is formula II; R_2 is $-R_4$, $-OR_4$, R_4 - $(CH_2)_b$ -NH(C=X)- $(CH_2)_c$ -, or $-(CH_2)_b$ -NH(C=O)- $(CH_2)_c$ - R_4 ; R_3 is hydrogen or C_1 to C_6 alkyl; R_4 is formula XV or formula XVII; A, B, D, E, and F are each independently C or N; R_6 , R_7 , R_8 , R_9 , R_{10} , R_{15} , R_{16} , R_{17} , R_{18} , and R_{19} are each independently hydrogen, halogen, -CN, or -OR $_{20}$; and R_{20} is C_1 to C_6 alkyl.
- 3. The compound of claim 1, wherein R_1 is formula III; R_2 is $-R_4$, $-OR_4$, R_4 - $(CH_2)_b$ -NH(C=X)- $(CH_2)_c$ -, or $-(CH_2)_b$ -NH(C=O)- $(CH_2)_c$ - R_4 ; R_4 is formula XV or formula XVII; R_3 is hydrogen or C_1 to C_6 alkyl; A, B, D, E, and F are each independently C or N; R_6 , R_7 , R_8 , R_9 , R_{10} , R_{15} , R_{16} , R_{17} , R_{18} , and R_{19} are each independently hydrogen, halogen, -CN, or -OR₂₀; and R_{20} is C_1 to C_6 alkyl.

4. The compound of claim 1, wherein R₁ is

10

15

20

25

30

35

40

5

 R_2 is $-R_4$, $-OR_4$, R_4 - $(CH_2)_b$ -NH(C=X)- $(CH_2)_c$ -, or $-(CH_2)_b$ -NH(C=O)- $(CH_2)_c$ - R_4 ; R_3 is hydrogen or C_1 to C_6 alkyl; R_4 is formula XV or formula XVII; A, B, D, E, and F are each independently C or N; R_6 , R_7 , R_8 , R_9 , R_{10} , R_{15} , R_{16} , R_{17} , R_{18} , and R_{19} are each independently hydrogen, halogen, -CN, or $-OR_{20}$; and R_{20} is C_1 to C_6 alkyl.

- 5. The compound of claim 1, wherein R_1 is formula II, formula III, or formula IV; R_2 is $-R_4$; R_3 is hydrogen or C_1 to C_6 alkyl; R_4 is formula XVII; G, G, G, and G are each independently G, G, or G, G alkyl, or G alkyl, or G alkylaryl.
- 6. The compound of claim 1, said compound being selected from:

7-(Imidazolo[4,5-b]pyridin-1-yl)-1-(I-methylpyrrolidin-3-yl)naphthalene;

7-(4-Chlorobenzamido)-1-(pyrrolidin-2-(R)-ylmethyl)naphthalene;

2-[8-(4-Methylpiperazin-1-yl)naphthalen-2-yloxy]nicotinonitrile;

1-(4-Methylpiperazin-1-yl)-7-pyrimidin-5-yl)naphthalene;

7-(5-Cyanopyridin-3-yl)-1-(4-methylpiperazin-1-yl)naphthalene;

1-(Piperazin-1-yl)-7-(pyrimidin-5-yl)naphthalene;

7-(4-Chlorobenzamido-1-(4-methylpiperazin-1-yl)naphthalene;

7-(3-Methoxyphenyl)1-(4-methylpiperazin-1-yl)naphthalene;

7-(Imidazolo[4,5-b]pyridin-1-yl)-1-(4-methylpiperazin-1-yl)naphthalene;

8-(4-Methylpiperazin-1-yl)naphthalene-2-carboxylic acid 4-chlorobenzylamide;

7-(4-Methoxyphenyl)-1-(4-methylpiperazin-1-yl)-naphthalene;

7-Pyrimidin-2-yloxy-1-(4-methylpiperazin-1-yl)naphthalene;

7-(Benzimidazol-1-yl)-1-(4-methylpiperazin-1-yl)naphthalene; and

8-(1-Methylpiperidin-4-yl)naphthalene-2-carboxylic acid 4-chlorobenzylamide.

7. A pharmaceutical composition for treating a condition selected from hypertension, depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain, Alzheimer's disease, and chronic paroxysmal hemicrania and headache associated with vascular disorders comprising an amount of a compound according to claim 1 effective in treating such condition and a pharmaceutically acceptable carrier.

- 8. A pharmaceutical composition for treating disorders arising from deficient serotonergic neurotransmission comprising an amount of a compound according to claim 1 effective in treating such condition and a pharmaceutically acceptable carrier.
 - 9. A method for treating a condition selected from hypertension, depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, Alzheimer's disease, pain and chronic paroxysmal hemicrania and headache associated with vascular disorders comprising administering to a mammal requiring such treatment an amount of a compound according to claim 1 effective in treating such condition.
 - 10. A method for treating disorders arising from deficient serotonergic neurotransmission comprising administering to a mammal requiring such treatment an amount of a compound according to claim 1 effective in treating such condition.
 - 11. A compound of the formula

20

15

5

10

where R₁ is of the formulae

$$-N$$
 $N-R_3$, $N-R_3$, or

;

- R₂ is (Methyl)₃Sn- or (Butyl)₃Sn-; R₃ is hydrogen , C₁ to C₆ alkyl, C₁ to C₆ alkylaryl, or aryl; a is 0, 1, or 2; and a broken line indicates the presence optionally of a double bond and the above aryl groups and the aryl moieties of the above alkylaryl groups are independently selected from phenyl and substituted phenyl, wherein said substituted phenyl may be substituted with one to three groups selected from C₁ to C₄ alkyl,
- 10 halogen, hydroxy, cyano, carboxamido, nitro, and C₁ to C₄ alkoxy.